## **WEST Search History**

DATE: Saturday, February 22, 2003

Set Name side by side		Hit Count	Set Name result set
DB=U	SPT; PLUR=YES; OP=OR		
L5	L3 with obes\$4	0	L5
L4	L2 with obes\$4	32	L4
L3	L2 with periph\$4	18	L3
L2	(melanocortin or MSH) with receptor	187	L2
L1	(melanocortin or MSH) with lipolysis	1	L1

END OF SEARCH HISTORY



#### **End of Result Set**

**Generate Collection** Print

L1: Entry 1 of 1

File: USPT

Aug 21, 2001

DOCUMENT-IDENTIFIER: US 6278038 B1

TITLE: Mammalian melanocortin receptors and uses

Brief Summary Text (16):
Numerous peripheral effects of POMC peptides have been reported. For example, removal of the neurointermediate lobe of the pituitary (which produces the POMC peptides) was demonstrated to decrease sebaceous lipid production (Thody and Shuster, 1973, Nature 245:207-209). The reduction was fully restored by concomitant A-MSH and androgen administration (Ebling et al., 1975, J. Endocrinol. 66:407-412). The lipid content of the preputial gland (a specialized sebaceous gland implicated in pheromone production in rodents; Bronson and Caroom, 1971, J. Reprod. Fertil. 25:279-282; Chipman and Alberecht, 1974, J. Reprod. Fertil. 38:91-96; Orsulak and Gawienowski, 1972, Biol. Reproduc. 6:219-223) has been shown to be stimulated by .alpha.-MSH. Injection of .alpha.-MSH has been shown to elicit several behavioral changes in the conspecific animals, including altered sexual attraction in male rats (Thody and Wilson, 1983, Physiol. Behav. 31:67-72), and modified aggression in male mice due to olfactory cues presumably from the preputial gland (Nowell et al., 1980, Physiol. Behav. 24:5-9). High affinity ACTH and MSH binding sites have also been reported to regulate <u>lipolysis</u> in adipocytes (Oelofsen and Ramachandran, 1983, Arch. Biochem. Biophys. 225:414-421; Ramachandran et al., 1976, Biochim. Biophys. Acta 428:339-346) and protein secretion in the lacrimal gland (Jahn, 1982, Eur. J. Biochem. 126:623-629; Tatro and Reichlin, 1987, ibid.).

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L3: Entry 12 of 18

File: USPT

Apr 25, 2000

DOCUMENT-IDENTIFIER: US 6054556 A

TITLE: Melanocortin receptor antagonists and agonists

### Brief Summary Text (4):

Res. Comm. 200:1007 (1994)]. Named by number in the order of their discovery, the melanocortin-1 receptor gene has been found thus far to be expressed primarily in the epidermal tissues; melanocortin-3, melanocortin-4, and melanocortin-5 receptor genes have been found thus far to be expressed primarily in the hypothalamus, mid-brain and brainstem (MC3-R, and MC4-R), or in a wide distribution of peripheral tissues (MC5-R).

### Detailed Description Text (12):

In addition to the biological activity of the peptides according to the present invention as potent and specific agonists and antagonists (thereby making them an extremely valuable research tool for determining the physiological roles of the MC1, MC3, MC4 and MC5 receptors), these peptides may also be used to block the normal physiological response of cells to natural melanotropin (e.g., .alpha.-MSH). For example, some researchers have suggested that melanoma tumor cells secrete .alpha.-MSH which then results in a proliferation of these cells. If so, an antagonist such as the peptides according to the present invention may help delay melanoma growth and metastases; if a melanotropin is known to cause a physical or biochemical response in man, an antagonist may block such a response. Considering the present level of understanding on both peripheral and CNS receptors, some of the potential uses of the antagonists according to the present invention may be to block the proposed autocrine and/or paracrine actions of .alpha.-MSH in the proliferation of melanoma tumors; as a vector to direct therapeutic ligands at the site of specific classes of MSH receptors; and the structural features of the peptides according to the present invention suggest that they may have facile passage across the blood-brain barrier and as such these antagonists may find extensive uses as intervention agents in various physiological processes mediated in the brain or in the periphery by MSH such as, for example, learning and memory processes, sexual behavior, regulation of body temperature, immune response, and as a vehicle for drugs that may otherwise not cross the blood-brain barrier. Unfortunately, until such uses of the peptides can be confirmed, their uses are presently limited primarly as valuable research, screening and standard reagents for studying potential pharmaceutically active molecules, and as extremely valuable research tools for determining the physiological roles of the MC1, MC3, MC4 and MC5 receptors.

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L3: Entry 17 of 18 File: USPT Mar 24, 1998

DOCUMENT-IDENTIFIER: US 5731408 A TITLE: Peptides having potent antagonist and agonist bioactivities at melanocortin receptors

#### Abstract Text (1):

Cyclic lactam peptides, seven amino acids in length, having D-2'-naphthylalanine (D-2'-Nal) or D-para-iodo-phenylalanine D-(p-I)Phe at position 4 of the peptide provided potent and specific antagonists of the two neural melanocortin receptors and of the peripheral receptor. In particular, the peptide ##STR1## was found to be a potent antagonist of the MC3 and MC4 receptors with partial agonist activity, and a full agonist of the MC1 and MC5 receptors; the peptide ##STR2## was found to be a potent antagonist of the MC3 and MC4 receptors with partial agonist activity. Both peptides have antagonist activities in the classical frog skin bioassay for pigmentation at the MC1 receptor.

#### Brief Summary Text (1):

While pharmacological methods have been traditionally used to define receptor types and subtypes, receptor cloning experiments have often led to the discovery of novel receptor types and subtypes within many receptor families. Following the cloning of the melanocyte stimulating hormone (MSH) gene [see Science 257:543 (1992)] and the adrenocorticotropic hormone (ACTH) receptor gene [see FEBS Lett. 309:417 (1992)], for example, three unique yet related genes were identified that also encoded functional, high affinity receptors for the MSH and ACTH peptides [see PNAS USA 90:8856 (1993); J. Biol. Chem. 268:8246 (1993); J. Biol. Chem. 268:15174 (1993); Biochem. Biophys. Res. Comm. 200:1214 (1994); Biochem. Biophys. Res. Comm. 195:866 (1993); Biochem. J. 299:367 (1994); Blochem. 33:4543 (1994); Mol. Endo. 8:1298 (1994); J. Mol. Endochrinol. 12:203 (1994); and Blochem. Biophys. Res. Comm. 200:1007 (1994)]. Named by number in the order of their discovery, the melanocortin-3, melanocortin-4, and melanocortin-5 receptor genes have been found thus far to be expressed primarily in the hypothalamus, mid-brain and brainstem (MC3-R, and MC4-R), or in a wide distribution of peripheral tissues (MC5-R).

#### Detailed Description Text (21):

In addition to the property of the peptides according to the present invention as potent and specific agonists and antagonists, thereby making them an extremely valuable research tool for determining the physiological roles of the MC1, MC3, MC4 and MC5 receptors, these peptides may also be used to block the normal physiological response of cells to natural melanotropin (e.g., .alpha.-MSH). For example, some researchers have suggested that melanoma tumor cells secrete .alpha.-MSH which then results in a proliferation of these cells. If so, an antagonist such as the peptides according to the present invention might help delay melanoma growth and metastases; if a melanotropin is known to cause a physical or biochemical response in man, an antagonist may block such a response. Considering the present level of understanding on both peripheral and CNS receptors, some of the potential uses of the antagonists according to the present invention might be to block the proposed autocrine and/or paracrine actions of .alpha. -MSH in the proliferation of melanoma tumors; as a vector to direct therapeutic ligands at the site of specific classes of MSH receptors; and the structural features of the peptides according to the present invention suggest that they may have facile passage across the blood-brain barrier and as such these antagonists may find extensive uses as intervention agents in various physiological processes mediated in the brain or in the periphery by MSH such as, for example, learning and memory processes, sexual behavior, regulation of body temperature, immune response, and as a vehicle for drugs that may otherwise not cross the blood-brain barrier. Unfortunately, until such uses of the peptides can be shown, they remain speculative.

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L3: Entry 9 of 18

File: USPT

Oct 3, 2000

DOCUMENT-IDENTIFIER: US 6127381 A

TITLE: Isoquinoline compound melanocortin receptor ligands and methods of using same

Other Reference Publication (27):
Haskell-Luevano et al., "Binding and cAMP studies of melanotropin peptides with the cloned human peripheral melanocortin receptor, hMC1R," Biochem. Biophys. Res. Commun. 204:1137-1142 (1994).

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